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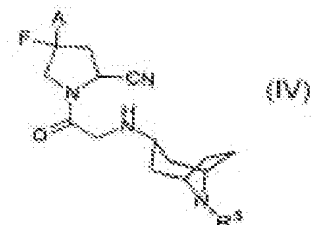
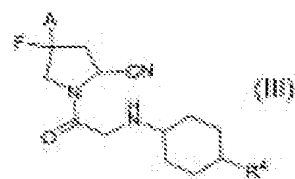
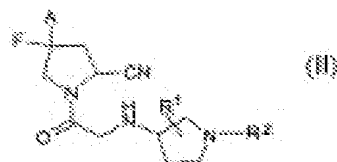
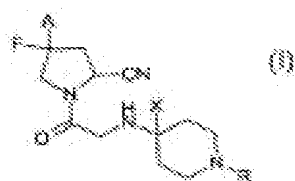
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27709 (US).(81) Designated States (national): AE, AG, AI, AM, AE, AU,
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VN, YU, ZA, ZM, ZW.(84) Designated States (regional): ARIPO patent (GH, GM,
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[Continued on next page]

(54) Title: FLUOROPYRROLIDINES AS DIPEPTIDYL PEPTIDASE INHIBITORS

(57) Abstract: The present invention relates to novel compounds of following formulae (I)-(VI) their use for inhibiting post pro-
lin/analine-cleaving proteases, such as serine proteases, such as dipeptidyl peptidases, such as dipeptidyl peptidase IV (DPP-IV),
and to methods for their production and their therapeutic utility.

WO 03/002553 A3



Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LI, MC, NL, PT, SE, TR), OAPI patent
(BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
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INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 02/20470

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D401/12 C07D413/14 C07D207/16 C07D207/48 C07D401/14
 C07D403/12 C07D207/26 C07D451/04 A61K31/40 A61K31/4025
 A61P3/10

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 01 34594 A (JACKSON PAUL ; STEINER JOSEPH (US); GUILFORD PHARM INC (US)) 17 May 2001 (2001-05-17) examples 42,44,51,52,55,56 claim 4	1,40
A	WO 98 19998 A (CIBA GEIGY AG ; VILLHAUER EDWIN BERNARD (US)) 14 May 1998 (1998-05-14) examples 1,5,10,15,17,25,66 claims 7-10	1,40

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another (citation or other special reason (as specified))
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- *Z* document member of the same patent family

Date of the actual completion of the international search

18 December 2002

Date of mailing of the international search report

30/12/2002

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INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 02/20470

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>AUGUSTYNS KJL LAMBEIR AM BORLOO M DE MEESTER I VEDERNIKOVA I VANHOOF G HENDRIKS D SCHARPE S HAEMERS A: "Pyrrolidides: synthesis and structure-activity relationship as inhibitors of dipeptidyl peptidase IV" EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY, EDITIONS SCIENTIFIQUE ELSEVIER, PARIS, FR, vol. 32, no. 4, 1997, pages 301-309, XP004086653 ISSN: 0223-5234 the whole document examples 17B,18B page 303, column 2, paragraphs 2,3</p>	1,40

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 02/20470

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 43-44 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International Application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No.

PCT/US 02/20470

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0134594	A	17-05-2001	AU 1916401 A	06-06-2001
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